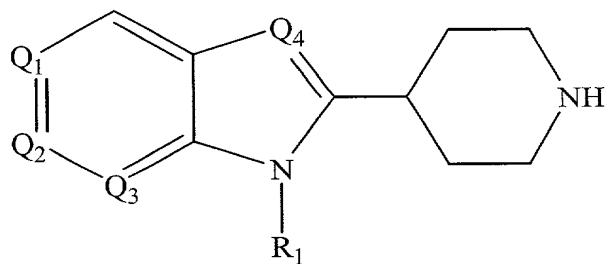


**What is claimed is:**

1. A compound having the Formula I:



wherein:

- 5         $Q_1$  is N or  $CR_3$ ;
- $Q_2$  is N or  $CR_4$ ;
- $Q_3$  is N or  $CR_{20}$ ;
- $Q_4$  is N or S;
- $R_1$  is H, alkyl, aryl, arylalkyl, heteroaryl; heteroarylalkyl, heterocycloalkyl,
- 10      arylsulfonyl, aryloxycarbonyl, alkoxyalkoxyalkyl, alkyl-S-R<sub>7</sub>, alkyl-NH-C(=O)-R<sub>8</sub> or -R<sub>9</sub>-X-R<sub>10</sub>-R<sub>11</sub>)H;
- wherein each of the alkyl, aryl, arylalkyl heteroaryl, heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxycarbonyl and alkoxyalkoxyalkyl moieties in each of the foregoing R<sub>1</sub> groups can be optionally substituted with up to 5 groups independently
- 15      selected from the group consisting of C<sub>1</sub>-C<sub>6</sub> alkyl, OH, hydroxyalkyl, -C(=O)-R<sub>5</sub>, CN, aryl, alkoxy carbonyl, alkylaryl, arylalkyl, heteroaryl, S-heteroaryl optionally substituted with halogen, heteroarylalkyl optionally substituted with halogen, heterocycloalkyl optionally substituted with amino, NO<sub>2</sub>, halogen, monohaloalkyl, dihaloalkyl, trihaloalkyl, perhaloaryl, perhaloalkylaryl, alkyl-NR<sub>15</sub>R<sub>16</sub> and NR<sub>15</sub>R<sub>16</sub>;
- 20      or one of said alkyl, aryl, arylalkyl heteroaryl, heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxycarbonyl or alkoxyalkoxyalkyl moieties of one of said R<sub>1</sub> groups can be attached to a structure of Formula I at position R<sub>1</sub> thereof;

$R_3$  and  $R_4$  are independently each H, halogen,  $C_1$ - $C_6$  alkyl, trihaloalkyl, alkoxycarbonyl, alkoxy,  $NR_{15}R_{16}$ , and  $NO_2$ , wherein said  $C_1$ - $C_6$  alkyl, alkoxycarbonyl, and alkoxy groups can each be optionally substituted with  $NR_{15}R_{16}$ ;

$R_5$  is H,  $-NHNHR_6$ ,  $-NHN=CH-R_6$ , heteroaryl, heterocycloalkyl, wherein said

5 hereteroaryl group can be optionally substituted with an aryl or heteroaryl group,

$R_6$  is aryl, heteroaryl; arylsulfonyl, heteroarylsulfonyl,  $-C(=S)-NH-aryl$ ,  $-C(=S)-NH-arylcarbonyl$ ,  $-C(=S)-NH-heteroarylcarbonyl$ ,  $-C(=S)-NH-alkylene-R_{21}$ ,  $-C(=O)-NH-aryl$ ,  $-C(=O)-NH-arylcarbonyl$ ,  $-C(=O)-NH-heteroarylcarbonyl$ , or  $-C(=O)-NH-alkylene-R_{21}$  where  $R_{21}$  is carboxy, alkoxycarbonyl, aryl, heteroaryl, heterocycloalkyl,

10 arylaminocarbonyl, cycloalkylaminocarbonyl, or a saturated hydrocarbon fused ring system optionally having an aryl ring fused thereto, said ring system being optionally substituted with up to three alkyl groups on the alkyl or aryl rings thereof;

wherein any of said  $R_6$  groups can be optionally substituted with up to 3 groups selected from  $NR_{15}R_{16}$ , alkyl, hydroxy, halogen, aryl, alkoxy, trihaloalkoxy,

15 arylalkyloxy,  $NO_2$ ,  $-SH$ ,  $-S-alkyl$ , heteroarylcarbonyl, heteroaryl, alkylheteroaryl, or a moiety of formula  $-OC_2CH_2-O-$  attached to adjacent atoms of said  $R_6$  group;

$R_7$  is heteroaryl or heterocycloalkyl;

$R_8$  is aryl;

$R_9$  and  $R_{10}$  are each independently alkylene having from 1 to about 20 carbons;

20  $X$  is  $-N(R_{12})-$ ,  $-C(R_{13})(R_{14})-$  or O;

$R_{11}$  is H, heterocycloaryl, or alkoxy, wherein said heterocycloaryl, or alkoxy group can be optionally substituted with up to four groups independently selected from halogen, amino, trihaloalkyl, alkoxycarbonyl, and CN;

$R_{12}$  is H or  $C_1$ - $C_6$  alkyl; and

25  $R_{13}$  and  $R_{14}$  are each independently H or  $C_1$ - $C_6$  alkyl.

$R_{15}$  is H, halogen,  $C_{1-12}$  alkyl, methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, branched and straight chain polyaminoalkyl, or a group of formula  $CH_2(CHOH)_4CH_2OH$ ,

wherein said methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl,

30 aminoalkyl, arylcarbonyl, and branched and straight chain polyaminoalkyl groups can be substituted by up to 3 OH groups;

$R_{16}$  is H, halogen, or  $C_1-C_6$  alkyl;

or  $R_{15}$  and  $R_{16}$  together with the nitrogen atom to which they are attached can form a succinimidic or phthalimidic group or a fused ring derivative thereof, wherein said succinimidic or phthalimidic group or fused ring derivative thereof can be optionally substituted by up to three substituents independently selected from  $NO_2$  and halogen, or a group of Formula I at position  $R_1$  thereof;

or  $R_{15}$  and  $R_{16}$  together with the nitrogen atom to which they are attached can form a group of Formula I wherein said nitrogen atom is  $Q_4$  thereof;

provided that when  $R_3$  and  $R_4$  are H,  $R_1$  is not:

10 methyl,  $-\text{CH}_2\text{C}(=\text{O})\text{O}-\text{A}$  where A is a cyclopentacycloocten-8-yl  
etser, 1-(1-methylcyclophetyl)piperidin-4-yl, 1-(1-phenylcyclophetyl)piperidin-4-yl, or  
ethoxyethyl.

2. The compound of claim 1 wherein Q<sub>1</sub> is CR<sub>3</sub>, Q<sub>2</sub> is CR<sub>4</sub>, Q<sub>3</sub> is CR<sub>20</sub>, and Q<sub>4</sub> is N.

3. The compound of claim 2 wherein R<sub>3</sub> and R<sub>4</sub> are each independently halogen, amino, NO<sub>2</sub>, CN, C<sub>1-6</sub> alkoxy or C<sub>1-6</sub> alkyl optionally substituted with up to 3 halogen atoms.

4. The compound of claim 2 wherein  $R_3$  and  $R_4$  are each independently  
20 halogen, amino, or  $\text{NO}_2$ .

5. The compound of claim 2 wherein  $R_3$  and  $R_4$  are each independently halogen.

6. The compound of claim 2 wherein  $R_3$  and  $R_4$  are each chlorine.

7. The compound of claim 2 wherein  $R_1$  is alkyl, alkyl substituted with  
25 alkoxycarbonyl, alkyl substituted with carboxy, or aralkyl where said aryl portion of said  
aralkyl is phenyl, pyridinyl, or pyrimidinyl, and where said phenyl, pyridinyl, or

pyrimidinyl portion of said arylalkyl group is optionally substituted with up to 5 substituents selected from halogen, monohaloalkyl, dihaloalkyl, trihaloalkyl, NO<sub>2</sub>, alkoxycarbonyl, and alkyl.

8. The compound of claim 6 wherein R<sub>1</sub> is alkyl, alkyl substituted with 5 alkoxycarbonyl, alkyl substituted with carboxy, or aralkyl where said aryl portion of said aralkyl is phenyl, pyridinyl, or pyrimidinyl, and where said phenyl, pyridinyl, or pyrimidinyl portion of said arylalkyl group is optionally substituted with up to 5 substituents selected from halogen, monohaloalkyl, dihaloalkyl, trihaloalkyl, NO<sub>2</sub>, alkoxycarbonyl, and alkyl.

10 9. The compound of claim 7 wherein said phenyl, pyridinyl, or pyrimidinyl portion of said arylalkyl group is optionally substituted with up to 5 substituents selected from CF<sub>3</sub>, F, Cl, NO<sub>2</sub>, COOCH<sub>3</sub>, I, Br, and t-butyl.

10. The compound of claim 8 wherein said phenyl, pyridinyl, or pyrimidinyl portion of said arylalkyl group is optionally substituted with up to 5 substituents selected 15 from CF<sub>3</sub>, F, Cl, NO<sub>2</sub>, COOCH<sub>3</sub>, I, Br, and t-butyl.

11. The compound of claim 2 wherein said R<sub>1</sub> is selected from the radicals shown in Scheme 19, *supra*.

12. The compound of claim 2 wherein R<sub>1</sub> is alkyl substituted with -C(=O)-R<sub>5</sub>.

13. The compound of claim 12 wherein R<sub>5</sub> is -NHNHR<sub>6</sub>, or -NHN=CH-R<sub>6</sub>.

20 14. The compound of claim 13 wherein R<sub>5</sub> is -NHNHR<sub>6</sub>.

15. The compound of claim 13 wherein R<sub>5</sub> is -NHN=CH-R<sub>6</sub>.

16. The compound of claim 14 wherein  $R_6$  is  $-C(=O)-NH-aryl$ ,  $-C(=O)-NH-cycloalkyl$ ,  $-C(=S)-NH-aryl$ , arylsulfonyl, heteroarylsulfonyl, heterocycloalkyl, arylaminocarbonyl, cycloalkylaminocarbonyl,  $-C(=S)-NH-alkylene-R_{21}$  where  $R_{21}$  is heteroaryl or heterocycloaryl, or a saturated hydrocarbon fused ring system optionally having an aryl ring fused thereto, said ring system being optionally substituted with up to three alkyl groups on the alkyl or aryl rings thereof;

5 wherein any of said  $R_6$  groups can be optionally substituted with up to 3 groups selected from  $NR_{15}R_{16}$ ,  $NO_2$ , a moiety of formula  $-OC_2CH_2-O-$  attached to adjacent atoms of said  $R_6$  group, aryl,  $C_{1-6}$  alkoxy, carboxy, or  $C_{1-6}$  trihaloalkoxy.

10 17. The compound of claim 15 wherein  $R_6$  is aryl or heteroaryl optionally substituted with up to 3 groups selected from OH,  $C_{1-6}$  alkoxy,  $NO_2$ ,  $C_{1-6}$  trihaloalkoxy,  $C_{1-6}$  trihaloalkyl, aryl, arylalkyloxy, and a moiety of formula  $-OC_2CH_2-O-$  attached to adjacent atoms of said  $R_6$  group.

18. The compound of claim 14 wherein said  $R_6$  is any of the radicals shown in  
15 Scheme 16, *supra*.

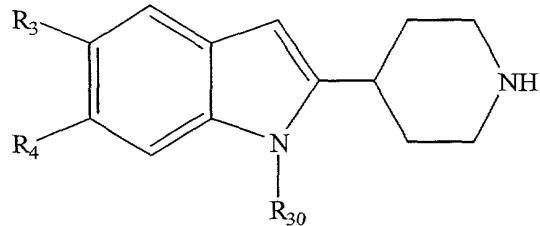
19. The compound of claim 15 wherein said  $R_6$  is any of the radicals shown in Scheme 15, *supra*.

20. The compound of claim 6 wherein  $R_1$  has the formula  $-(CH_2)_q-L_4$  where  $q$  is 0 to 6 and  $L_4$  is aryl, heteroaryl or heterocycloalkyl, arylsulfonamino, arylcarboxyamino or  
20 -S-heteroaryl, where each of said  $L_4$  is optionally substituted with up to three substituents selected from halogen and  $NO_2$ .

21. The compound of claim 20 wherein said  $L_4$  is N-maleimidyl, N-succinimidyl, N-phthalimidyl, N-naphthalimidyl, N-pyromellitic diimidyl, phenylsulfonamidyl, phenylcarboxamidyl, N-benzopyrrolidinyl, benzimidazol-1-yl,  
25 benzimidazol-2-yl, 1,2,4-triazolyl-4-yl, or purinyl, each of said  $L_4$  groups being optionally

substituted with 1 or 2 substituents selected from halogen, trihaloalkyl, trihaloalkoxy and  $\text{NO}_2$ .

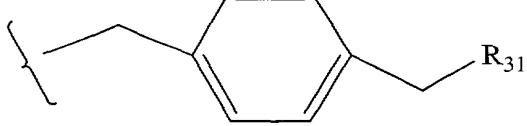
22. The compound of claim 1 having the formula:



5 wherein:

$\text{R}_3$  and  $\text{R}_4$  are independently each H, halogen,  $\text{C}_1\text{-C}_6$  alkyl,  $\text{C}_1\text{-C}_6$  alkoxy, trihaloalkyl, alkoxy carbonyl, alkoxy,  $\text{NR}_{15}\text{R}_{16}$ , or  $\text{NO}_2$ ;

$\text{R}_{30}$  is  $\text{C}_{1-6}$  alkyl, heteroarylalkyl, arylalkyl, or heteroaryl, wherein each of said heteroarylalkyl, arylalkyl, or heteroaryl groups each can be optionally substituted with 10 up to three substituents selected from halogen,  $\text{NO}_2$ , and mono-, di-, or trihaloalkyl; or  $\text{R}_{30}$  has the structure XX:



XX

wherein  $\text{R}_{31}$  is alkylamino, aminoalkylamino, poly(aminoalkyl)amino, 15 heterocycloalkylamino, heterocycloalkyl,  $-\text{NH}-(\text{CHOH})_4\text{CH}_2\text{OH}$ ,  $-\text{NH}-(\text{CH}_2)_{1-12}-$  heteroaryl or  $-\text{NH}-(\text{CH}_2)_{1-12}\text{-heterocycloalkyl}$ .

23. The compound of claim 22 wherein  $\text{R}_{30}$  has the structure XX.

24. The compound of claim 23 wherein  $\text{R}_{31}$  is heterocycloalkylamino.

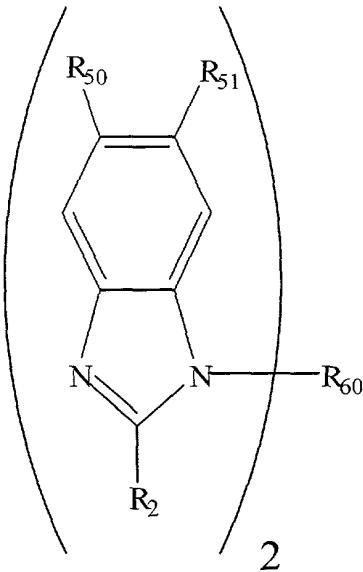
20 25. The compound of claim 23 wherein  $\text{R}_{31}$  is alkylamino.

26. The compound of claim 23 wherein  $R_{31}$  is aminoalkylamino.
27. The compound of claim 23 wherein  $R_{31}$  is poly(aminoalkyl)amino.
28. The compound of claim 23 wherein  $R_{31}$  is heterocycloalkylamino.
29. The compound of claim 23 wherein  $R_{31}$  is heterocycloalkyl.
- 5 30. The compound of claim 23 wherein  $R_{31}$  is  $-\text{NH}-(\text{CH}_2)_{1-12}\text{-heteroaryl}$ .
31. The compound of claim 23 wherein  $R_{31}$  is  $-\text{NH}-(\text{CH}_2)_{1-12}\text{-heterocycloalkyl}$ .
32. The compound of claim 22 wherein  $R_{31}$  is any of the radicals shown in

Example 11, *supra*.

33. The compound of claim 22 wherein  $R_1$  is pyridin-4-yl-methyl, pyridin-3-yl-methyl, 4-fluorophen-1-yl-methyl, 4-nitrophen-1-yl-methyl, 4-(bromomethyl)phen-1-yl-methyl, pyrimidine-2-yl, or 2,4-dinitrophen-1-yl.

34. A compound having the structure:



wherein:

- 15  $R_2$  is  $\text{NH}_2$  or piperidin-4-yl;

$R_{50}$  and  $R_{51}$  are each independently selected from H, halogen,  $C_1$ - $C_6$  alkyl, trihaloalkyl, alkoxycarbonyl, alkoxy,  $NR_{15}R_{16}$ , and  $NO_2$ , wherein said  $C_1$ - $C_6$  alkyl, alkoxycarbonyl, and alkoxy groups can each be optionally substituted with  $NR_{15}R_{16}$ ;

$R_{15}$  is H, halogen,  $C_{1-12}$  alkyl, methylcarbonyl, heterocycloalkyl, arylsulfonyl,

5     $R_{16}$  is heteroarylalkyl, aminoalkyl, arylcarbonyl, branched and straight chain polyaminoalkyl, or a group of formula  $CH_2(CHOH)_4CH_2OH$ ,

wherein said methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, and branched and straight chain polyaminoalkyl groups can be substituted by up to 3 OH groups;

10     $R_{16}$  is H, halogen, or  $C_1$ - $C_6$  alkyl;

or  $R_{15}$  and  $R_{16}$  together with the nitrogen atom to which they are attached can form a succinimido or phthalimido group or a fused ring derivative thereof, wherein said succinimido or phthalimido group or fused ring derivative thereof can be optionally substituted by up to three substituents independently selected from  $NO_2$  and halogen;

15     $R_{60}$  is alkylene having from 1 to 18 carbons, or  $-R_9-X-R_{10}-H$ ;

$R_9$  and  $R_{10}$  are each independently alkylene having from 1 to about 20 carbons;

$X$  is  $-N(R_{12})-$ ,  $-C(R_{13})(R_{14})-$  or O; and

$R_{12}$ ,  $R_{13}$  and  $R_{14}$  are each independently H or  $C_1$ - $C_6$  alkyl.

35.    The compound of claim 34 wherein  $R_2$  is piperidin-4-yl.

20    36.    The compound of claim 35 wherein  $R_{50}$  and  $R_{51}$  are each halogen.

37.    The compound of claim 35 wherein  $R_{50}$  and  $R_{51}$  are each chlorine.

38.    The compound of claim 37 wherein  $R_{60}$  is alkylene having from 1 to 6 carbons.

39.    The compound of claim 37 wherein  $R_{60}$  is alkylene having from 1 to 4 carbons.

25    40.    The compound of claim 37 wherein  $R_{60}$  is  $-CH_2-C_6H_4-CH_2-$ .

41.    The compound of claim 37 wherein  $R_{60}$  is para- $CH_2-C_6H_4-CH_2-$ .

42.    The compound of claim 34 wherein  $R_2$  is  $NH_2$ .

43.    The compound of claim 42 wherein  $R_{50}$  and  $R_{51}$  are each independently selected from H, halogen, methyl,  $COOCH_3$ , CN and  $CF_3$ .

30    44.    The compound of claim 43 wherein  $R_{60}$  is  $-R_9-X-R_{10}-$ .

45. The compound of claim 44 wherein X is  $-N(R_{12})-$ .

46. The compound of claim 45 wherein  $R_{12}$  is methyl and  $R_9$  and  $R_{10}$  are each  $(CH_2)_2$  or  $(CH_2)_3$ .

47. The compound of claim 46 wherein  $R_{50}$  and  $R_{51}$  are each halogen.

5 48. The compound of claim 46 wherein  $R_{50}$  and  $R_{51}$  are each H.

49. The compound of claim 46 wherein  $R_{50}$  is Br and  $R_{51}$  is H.

50. The compound of claim 46 wherein  $R_{50}$  is  $CH_3$  and  $R_{51}$  is H.

51. The compound of claim 46 wherein  $R_{50}$  is  $COOCH_3$  and  $R_{51}$  is H.

52. The compound of claim 46 wherein  $R_{50}$  is  $CF_3$  and  $R_{51}$  is H.

10 53. The compound of claim 46 wherein  $R_{50}$  is CN and  $R_{51}$  is H.

54. The compound of claim 44 wherein X is O.

55. The compound of claim 54 wherein  $R_9$  and  $R_{10}$  are each  $(CH_2)_2$  or  $(CH_2)_3$ .

56. The compound of claim 55 wherein  $R_{50}$  and  $R_{51}$  are each halogen.

57. The compound of claim 55 wherein  $R_{50}$  and  $R_{51}$  are each H.

15 58. The compound of claim 55 wherein  $R_{50}$  is Br and  $R_{51}$  is H.

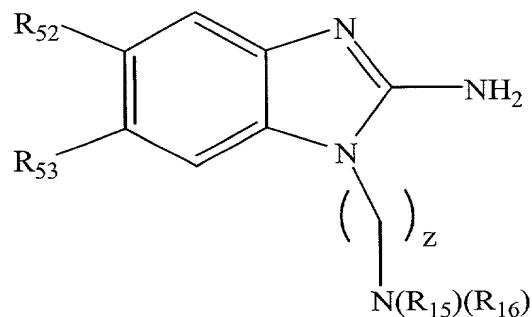
59. The compound of claim 55 wherein  $R_{50}$  is  $CH_3$  and  $R_{51}$  is H.

60. The compound of claim 55 wherein  $R_{50}$  is  $COOCH_3$  and  $R_{51}$  is H.

61. The compound of claim 55 wherein  $R_{50}$  is  $CF_3$  and  $R_{51}$  is H.

62. The compound of claim 55 wherein  $R_{50}$  is CN and  $R_{51}$  is H.

20 63. A compound of formula:



wherein:

$R_{52}$  and  $R_{53}$  are each independently selected from H, halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, trihaloalkyl, alkoxycarbonyl, alkoxy, NR<sub>15</sub>R<sub>16</sub>, and NO<sub>2</sub>, wherein said C<sub>1</sub>-C<sub>6</sub> alkyl, alkoxycarbonyl, and alkoxy groups can each be optionally substituted with NR<sub>15</sub>R<sub>16</sub>;

5       $R_{15}$  is H, halogen, C<sub>1</sub>-C<sub>12</sub> alkyl, methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, branched and straight chain polyaminoalkyl, or a group of formula CH<sub>2</sub>(CHOH)<sub>4</sub>CH<sub>2</sub>OH;

wherein said methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, and branched and straight chain polyaminoalkyl groups can be substituted by up to 3 OH groups;

10       $R_{16}$  is H, halogen, or C<sub>1</sub>-C<sub>6</sub> alkyl;

or  $R_{15}$  and  $R_{16}$  together with the nitrogen atom to which they are attached can form a succinimidic or phthalimido group or a fused ring derivative thereof, wherein said succinimidic or phthalimido group or fused ring derivative thereof can be optionally substituted by up to three substituents independently selected from NO<sub>2</sub> and halogen; and

15      z is 1 to 6.

64.      The compound of claim 63 wherein  $R_{15}$  and  $R_{16}$  are each methyl.

65.      The compound of claim 64 wherein z is 2 or 3.

66.      The compound of claim 65 wherein  $R_{52}$  and  $R_{53}$  are each independently H, C<sub>1</sub>-C<sub>6</sub> alkyl, alkoxy optionally substituted with dialkylamino, or alkylamino.

20      67.      The compound of claim 66 wherein  $R_{52}$  is H.

68.      The compound of claim 67 wherein  $R_{53}$  is methyl, methoxy, alkoxy optionally substituted with dialkylamino, or alkylamino.

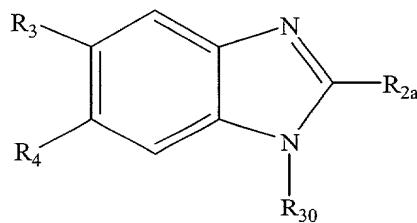
69.      The compound of claim 67 wherein  $R_{53}$  is OCH<sub>3</sub> or O(CH<sub>2</sub>)<sub>3</sub>N(CH<sub>3</sub>)<sub>2</sub>.

70.      The compound of claim 66 wherein  $R_{53}$  is H.

25      71.      The compound of claim 70 wherein  $R_{52}$  is methyl, methoxy, alkoxy optionally substituted with dialkylamino, or alkylamino.

72.      The compound of claim 70 wherein  $R_{52}$  is OCH<sub>3</sub> or O(CH<sub>2</sub>)<sub>3</sub>N(CH<sub>3</sub>)<sub>2</sub>.

73.      A compound of Formula:



wherein:

R<sub>2a</sub> is amino, phenyl, mono- or bicyclic heterocycloalkyl having 1 or 2 ring nitrogen atoms, mono- or bicyclic heteroaryl having 1 or 2 ring nitrogen atoms, cycloalkyl, 5 halogen, heterocycloalkylalkyl (i.e., alkyl sub w' heterocycloalkyl) having 1 or 2 ring nitrogen atoms, mono- or bicyclic heterocycloalkylamino having 1 or 2 ring nitrogen atoms or a group of formula -S-alkylene-L<sub>1</sub> where L<sub>1</sub> is mono- or bicyclic-heteroaryl having 1 or 2 ring nitrogen atoms;

wherein each of said amino, phenyl, heterocycloalkyl, heteroaryl, 10 cycloalkyl, heterocycloalkylalkyl, or heterocycloalkylamino groups can be optionally substituted with a group selected from amino, OH, C<sub>1</sub>-C<sub>12</sub> alkyl, a structure of formula -C(=O)CH(NH<sub>2</sub>)-L<sub>2</sub> where L<sub>2</sub> is the side chain of a naturally occurring alpha amino acid, -C(NH<sub>2</sub>)=NH, C<sub>1</sub>-C<sub>12</sub> alkylcarbonyl, mono- or bicyclic heteroaryl having 1 or 2 ring nitrogen atoms, mono- or bicyclic heteroarylalkyl having 1 or 2 ring nitrogen atoms, or S-15 alkyl-heteroaryl where said heteroaryl is mono- or bicyclic having 1 or 2 ring nitrogen atoms; and

R<sub>3</sub> and R<sub>4</sub> are each independently halogen, amino, NO<sub>2</sub>, CN, C<sub>1-6</sub> alkoxy or C<sub>1-6</sub> alkyl optionally substituted with up to 3 halogen atoms; and

R<sub>30</sub> is H, alkyl, aryl, arylalkyl, heteroaryl; heteroarylalkyl, heterocycloalkyl, 20 arylsulfonyl, aryloxycarbonyl, alkoxyalkoxyalkyl, alkyl-S-R<sub>7</sub>, alkyl-NH-C(=O)-R<sub>8</sub> or -R<sub>9</sub>-X-R<sub>10</sub>-R<sub>11</sub>H;

wherein each of the alkyl, aryl, arylalkyl heteroaryl, heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxycarbonyl and alkoxyalkoxyalkyl moieties in each of the foregoing R<sub>1</sub> groups can be optionally substituted with up to 3 groups independently 25 selected from the group consisting of C<sub>1</sub>-C<sub>6</sub> alkyl, OH, hydroxyalkyl, -C(=O)-R<sub>5</sub>, CN, aryl, alkoxy carbonyl, alkylaryl, arylalkyl, heteroaryl, S-heteroaryl optionally substituted with

halogen, heteroarylalkyl optionally substituted with halogen, heterocycloalkyl optionally substituted with amino,  $\text{NO}_2$ , halogen, monohaloalkyl, dihaloalkyl, trihaloalkyl, perhaloaryl, perhaloalkylaryl, alkyl- $\text{NR}_{15}\text{R}_{16}$  and  $\text{NR}_{15}\text{R}_{16}$ ;

or one of said alkyl, aryl, arylalkyl heteroaryl, heteroarylalkyl,

5 heterocycloalkyl, arylsulfonyl, aryloxycarbonyl or alkoxyalkoxyalkyl moieties of one of said  $\text{R}_1$  groups can be attached to a structure of Formula I at position  $\text{R}_1$  thereof;

$\text{R}_5$  is H,  $-\text{NHNHR}_6$ ,  $-\text{NHN}=\text{CH}-\text{R}_6$ , heteroaryl, heterocycloalkyl, wherein said heteroaryl group can be optionally substituted with an aryl or heteroaryl group,

$\text{R}_6$  is aryl, heteroaryl; arylsulfonyl, heteroarylsulfonyl,  $-\text{C}(=\text{S})-\text{NH-aryl}$ , -

10  $\text{C}(=\text{S})-\text{NH-arylcarbonyl}$ ,  $-\text{C}(=\text{S})-\text{NH-heteroarylcarbonyl}$ ,  $-\text{C}(=\text{S})-\text{NH-alkylene-R}_{21}$ , -  $\text{C}(=\text{O})-\text{NH-aryl}$ ,  $-\text{C}(=\text{O})-\text{NH-arylcarbonyl}$ ,  $-\text{C}(=\text{O})-\text{NH-heteroarylcarbonyl}$ , or  $-\text{C}(=\text{O})-\text{NH-alkylene-R}_{21}$  where  $\text{R}_{21}$  is carboxy, aryloxycarbonyl, aryl, heteroaryl, heterocycloalkyl, arylaminocarbonyl, cycloalkylaminocarbonyl, or a saturated hydrocarbon fused ring system optionally having an aryl ring fused thereto, said ring system being optionally substituted with up to three alkyl groups on the alkyl or aryl rings thereof;

wherein any of said  $\text{R}_6$  groups can be optionally substituted with up to 3 groups selected from  $\text{NR}_{15}\text{R}_{16}$ , alkyl, hydroxy, halogen, aryl, alkoxy, trihaloalkoxy, arylalkyloxy,  $\text{NO}_2$ , -SH, -S-alkyl, heteroarylcarbonyl, heteroaryl, alkylheteroaryl, or a moiety of formula  $-\text{OC}_2\text{CH}_2-\text{O-}$  attached to adjacent atoms of said  $\text{R}_6$  group;

15 20  $\text{R}_7$  is heteroaryl or heterocycloalkyl;

$\text{R}_8$  is aryl;

$\text{R}_9$  and  $\text{R}_{10}$  are each independently alkylene having from 1 to about 20 carbons;

$\text{X}$  is  $-\text{N}(\text{R}_{12})-$ ,  $-\text{C}(\text{R}_{13})(\text{R}_{14})-$  or O;

25  $\text{R}_{11}$  is H, heterocycloaryl or alkoxy, wherein said heterocycloaryl or alkoxy group can be optionally substituted with up to four groups independently selected from halogen, amino, trihaloalkyl, aryloxycarbonyl, and CN;

$\text{R}_{12}$  is H or  $\text{C}_1\text{-C}_6$  alkyl; and

$\text{R}_{13}$  and  $\text{R}_{14}$  are each independently H or  $\text{C}_1\text{-C}_6$  alkyl;

$R_{15}$  is H, halogen,  $C_{1-12}$  alkyl, methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, branched and straight chain polyaminoalkyl, or a group of formula  $CH_2(CHOH)_4CH_2OH$ , wherein said methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, and branched and straight chain polyaminoalkyl groups can be substituted by up to 3 OH groups;

$R_{16}$  is H, halogen, or  $C_1-C_6$  alkyl; or  $R_{15}$  and  $R_{16}$  together with the nitrogen atom to which they are attached can form a succinimido or phthalimido group or a fused ring derivative thereof, wherein said succinimido or phthalimido group or fused ring derivative thereof can be optionally substituted by up to three substituents independently selected from  $NO_2$  and halogen, or a group of Formula I at position  $R_1$  thereof; or  $R_{15}$  and  $R_{16}$  together with the nitrogen atom to which they are attached can form a group of Formula I wherein said nitrogen atom is  $Q_4$  thereof;

15 74. The compound of claim 73 wherein  $R_3$  and  $R_4$  are each halogen.

75. The compound of claim 73 wherein  $R_3$  and  $R_4$  are each chlorine.

76. The compound of claim 73 wherein  $R_{2a}$  is amino, Cl, phenyl, monocyclic heterocycloalkyl having 1 or 2 ring nitrogen atoms, monocyclic heteroaryl having 1 ring nitrogen atom, cyclophenyl, cyclohexyl, heterocycloalkyl-methyl, piperidine-4-yl amino or a group of formula  $-S-(C_{2-4} \text{ alkylene})-N\text{-phthalimido}$ ; wherein each of said phenyl, heterocycloalkyl heteroaryl, cyclophenyl, cyclohexyl, heterocycloalkyl-methyl, and piperidine-4-yl amino groups can be optionally substituted with a group selected from  $NH_2$ ,  $OH$ ,  $CH_3$ ,  $COOCH_3$ , a structure of formula  $-C(=O)CH(NH_2)-L_2$  where  $L_2$  is a serine or threonine side chain,  $-C(NH_2)=NH$ , benzimidazolyl, or benzimidazolemethyl.

77. The compound of claim 75 wherein  $R_{2a}$  is amino, Cl, phenyl, monocyclic heterocycloalkyl having 1 or 2 ring nitrogen atoms, monocyclic heteroaryl having 1 ring

nitrogen atom, cycloenyl, cyclohexyl, heterocycloalkyl-methyl, piperidine-4-yl amino or a group of formula -S-(C<sub>2-4</sub> alkylene)-N-phthalimido;

wherein each of said phenyl, heterocycloalkyl heteroaryl, cycloenyl, cyclohexyl, heterocycloalkyl-methyl, and piperidine-4-yl amino groups can be optionally substituted with a group selected from NH<sub>2</sub>, OH, CH<sub>3</sub>, COOCH<sub>3</sub>, a structure of formula -C(=O)CH(NH<sub>2</sub>)-L<sub>2</sub> where L<sub>2</sub> is a serine or threonine side chain, -C(NH<sub>2</sub>)=NH, benzimidazole, or benzimidazolemethyl.

78. The compound of claim 73 wherein R<sub>2a</sub> is amino, Cl, piperidinyl, pyridinyl, phenyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, -CH<sub>2</sub>-piperazinyl, piperidine-4-yl-amino or S-alkyl-phthalyl, wherein said piperidinyl, pyridinyl, phenyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, -CH<sub>2</sub>-piperazinyl, or S-alkyl-phthalyl groups can be optionally substituted with a group selected from NH<sub>2</sub>, methylcarbonyl, -C(=O)CH(NH<sub>2</sub>)-CH<sub>2</sub>OH, methyl, OH, -C(NH<sub>2</sub>)=NH, OH, benzimidazole-2-yl, and -CH<sub>2</sub>-benzimidazole-2-yl.

79. The compound of claim 75 wherein R<sub>2a</sub> is amino, Cl, piperidinyl, pyridinyl, phenyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, -CH<sub>2</sub>-piperazinyl, piperidine-4-yl-amino or S-alkyl-phthalyl, wherein said piperidinyl, pyridinyl, phenyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, -CH<sub>2</sub>-piperazinyl, or S-alkyl-phthalyl groups can be optionally substituted with a group selected from NH<sub>2</sub>, methylcarbonyl, -C(=O)CH(NH<sub>2</sub>)-CH<sub>2</sub>OH, methyl, OH, -C(NH<sub>2</sub>)=NH, OH, benzimidazole-2-yl, and -CH<sub>2</sub>-benzimidazole-2-yl.

80. The compound of claim 73 wherein R<sub>2a</sub> is amino, Cl, pyridin-4-yl, phenyl substituted with amino, cyclopentyl substituted with amino, cyclohexyl optionally substituted with amino, pyrrolidin-2-yl optionally substituted by hydroxy, piperazin-1-yl optionally substituted at the 4-yl position by benzimidazole-2-yl, piperazin-1-yl-methyl optionally substituted at the 4-yl position by -CH<sub>2</sub>-benzimidazole-2-yl, piperidine-4-yl-amino, piperidin-1-yl substituted by amino, S-alkyl-phthalyl, or said R<sub>2</sub> is piperidin-4-yl

optionally substituted at the 1-yl position with  $-C(=O)CH_3$ ,  $-C(=O)CH(NH_2)-CH_2OH$ ,  $-C(NH_2)=NH$ , or  $CH_3$ .

81. The compound of claim 75 wherein  $R_{2a}$  is amino, Cl, pyridin-4-yl, phenyl substituted with amino, cyclopentyl substituted with amino, cyclohexyl optionally substituted with amino, pyrrolidin-2-yl optionally substituted by hydroxy, piperazin-1-yl optionally substituted at the 4-yl position by benzimidazole-2-yl, piperazin-1-yl-methyl optionally substituted at the 4-yl position by  $-CH_2$ -benzimidazole-2-yl, piperidine-4-yl-amino, piperidin-1-yl substituted by amino, S-alkyl-phthalyl, or said  $R_2$  is piperidin-4-yl optionally substituted at the 1-yl position with  $-C(=O)CH_3$ ,  $-C(=O)CH(NH_2)-CH_2OH$ ,  
10  $-C(NH_2)=NH$ , or  $CH_3$ .

82. The compound of claim 73 wherein  $R_{2a}$  is amino, piperidin-4-yl-amino, piperazine-1-yl optionally substituted with benzimidazole-2-yl, pyridin-4-yl, piperidin-4-yl optionally substituted at the 1-yl position with  $-C(=O)CH_3$ ,  $-C(=O)CH(NH_2)-CH_2OH$ ,  $-C(NH_2)=NH$ , or  $CH_3$ , 4-amino-piperidin-1-yl, 3-amino-phen-1-yl, 3-amino-cyclopent-1-yl, cyclohexyl optionally substituted at the 3-yl or 4-yl position with  $NH_2$ , 4-hydroxypyrrrolidin-2-yl, piperazin-1-yl-methyl, 4-(benzimidazole-2-yl-methyl)piperazin-1-yl-methyl, or S-alkyl-phthalyl where said alkyl has from 2 to 4 carbons.  
15

83. The compound of claim 73 wherein  $R_{2a}$  is piperidin-4-yl optionally substituted at the 1-yl position with  $-C(=O)CH_3$ ,  $-C(=O)CH(NH_2)-CH_2OH$ ,  $-C(NH_2)=NH$ ,  
20 or  $CH_3$ .

84. The compound of claim 75 wherein  $R_{2a}$  is piperidin-4-yl optionally substituted at the 1-yl position with  $-C(=O)CH_3$ ,  $-C(=O)CH(NH_2)-CH_2OH$ ,  $-C(NH_2)=NH$ , or  $CH_3$ .

85. The compound of claim 73 wherein  $R_{2a}$  is piperidin-4-yl.

25 86. The compound of claim 75 wherein  $R_{2a}$  is piperidin-4-yl.

87. The compound of claim 73 wherein  $R_{2a}$  is  $NH_2$ .

88. The compound of claim 75 wherein  $R_{2a}$  is  $NH_2$ .

89. The compound of claim 86 wherein  $R_{30}$  is alkyl substituted with  $-C(=O)-R_5$ .

90. The compound of claim 89 wherein  $R_5$  is  $-NHNHR_6$ , or  $-NHN=CH-R_6$ .

5 91. The compound of claim 90 wherein  $R_5$  is  $-NHNHR_6$ .

92. The compound of claim 90 wherein  $R_5$  is  $-NHN=CH-R_6$ .

93. The compound of claim 91 wherein  $R_6$  is  $-C(=O)-NH-aryl$ ,  $-C(=O)-NH-cycloalkyl$ ,  $-C(=S)-NH-aryl$ , arylsulfonyl, heteroarylsulfonyl, heterocycloalkyl, arylaminocarbonyl, cycloalkylaminocarbonyl,  $-C(=S)-NH-alkylene-R_{21}$  where  $R_{21}$  is 10 heteroaryl or heterocycloaryl, or a saturated hydrocarbon fused ring system optionally having an aryl ring fused thereto, said ring system being optionally substituted with up to three alkyl groups on the alkyl or aryl rings thereof;  
wherein any of said  $R_6$  groups can be optionally substituted with up to 3 groups selected from  $NR_{15}R_{16}$ ,  $NO_2$ , a moiety of formula  $-OC_2CH_2-O-$  attached to adjacent atoms 15 of said  $R_6$  group, aryl,  $C_{1-6}$  alkoxy, carboxy, or  $C_{1-6}$  trihaloalkoxy.

94. The compound of claim 92 wherein  $R_6$  is aryl or heteroaryl optionally substituted with up to 3 groups selected from OH,  $C_{1-6}$  alkoxy,  $NO_2$ ,  $C_{1-6}$  trihaloalkoxy,  $C_{1-6}$  trihaloalkyl, aryl, arylalkyloxy, and a moiety of formula  $-OC_2CH_2-O-$  attached to adjacent atoms of said  $R_6$  group.

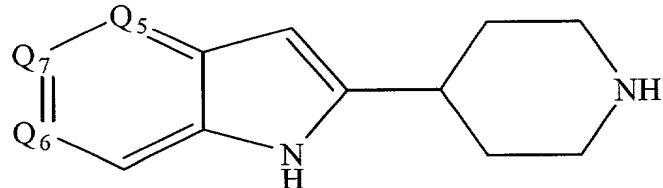
20 95. A compound as described in Table, *supra*.

96. The compound of claim 86 wherein  $R_{30}$  has the formula  $-(CH_2)_q-L_4$  where  $q$  is 0 to 6 and  $L_4$  is aryl, heteroaryl or heterocycloalkyl, arylsulfonamino, arylcarboxyamino

or -S-heteroaryl, where each of said L<sub>4</sub> is optionally substituted with up to three substituents selected from halogen and NO<sub>2</sub>.

97. The compound of claim 96 wherein said L<sub>4</sub> is maleimido, succinimido, phthalimido, naphthalimido, pyromellitic diimido, phenylsulfonamido, 5 phenylcarboxamido, benzopyrrolidine, benzimidazole, triazole, or -S-benzimidazole.

98. A compound of Formula:



wherein:

Q<sub>5</sub> is CH or N;  
10 Q<sub>6</sub> is C-R<sub>61</sub> or N;  
Q<sub>7</sub> is C-R<sub>60</sub> or N;  
R<sub>60</sub> and R<sub>61</sub> are each independently H, halogen, C<sub>1-6</sub> alkyl, trihaloalkyl, or C<sub>1-6</sub> alkoxy;  
provided that when Q<sub>6</sub> is C-R<sub>61</sub>, Q<sub>7</sub> is C-R<sub>60</sub> and Q<sub>5</sub> is CH, then R<sub>60</sub> and R<sub>61</sub> are not  
15 both H.

99. The compound of claim 98 wherein Q<sub>5</sub> is N.  
100. The compound of claim 98 wherein Q<sub>6</sub> is N.  
101. The compound of claim 98 wherein Q<sub>7</sub> is N.  
101. The compound of claim 98 wherein Q<sub>5</sub> is N, Q<sub>6</sub> is C-R<sub>61</sub> and Q<sub>7</sub> is C-R<sub>60</sub>.  
20 102. The compound of claim 98 wherein Q<sub>7</sub> is N, Q<sub>6</sub> is C-R<sub>61</sub> and Q<sub>5</sub> is CH.  
103. The compound of claim 98 wherein Q<sub>5</sub> is N, Q<sub>6</sub> is N and Q<sub>7</sub> is C-R<sub>60</sub>.  
104. The compound of claim 98 wherein Q<sub>5</sub> is CH, Q<sub>6</sub> is R<sub>61</sub> and Q<sub>7</sub> is C-R<sub>60</sub>.

105. The compound of claim 104 wherein R<sub>60</sub> and R<sub>61</sub> are each independently H, Br, Cl, methoxy, methyl or trifluoromethyl.

106. The compound of claim 104 wherein R<sub>60</sub> is OCH<sub>3</sub> and R<sub>61</sub> is H, or R<sub>60</sub> is CH<sub>3</sub> and R<sub>61</sub> is H, or R<sub>60</sub> is Br and R<sub>61</sub> is H, or R<sub>60</sub> is Cl and R<sub>61</sub> is H, or R<sub>60</sub> is CF<sub>3</sub> and R<sub>61</sub> is H, or R<sub>60</sub> is Cl and R<sub>61</sub> is CH<sub>3</sub>, or R<sub>60</sub> and R<sub>61</sub> are both Cl.